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L4          573 S L2 SSS FULL

     FILE 'CAPLUS' ENTERED AT 13:37:19 ON 20 OCT 2000
L5         1638 S L4
L6            6 S L5 AND DIMERIZATION
L7           86 S L5 AND REDUCTIVE
L8            0 S L7 AND METAL
L9           14 S L5 AND METAL
L10           0 S L9 AND REDUCING

=> s l6 and l7

L11           1 L6 AND L7

=> d fbib abs hitstr


L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2000 ACS
AN   1983:125828  CAPLUS
DN   98:125828
TI   Effect of the position of substituents on the photoreduction of
     4-piperidones by isopropyl alcohol
AU   Kostochka, L. M.; Belostotskii, A. M.; Skoldinov, A. P.
CS   Nauchno-Issled. Inst. Farmakol., Moscow, USSR
SO   Zh. Org. Khim. (1982), 18(12), 2623-4
     CODEN: ZORKAE; ISSN: 0514-7492
DT   Journal
LA   Russian
GI
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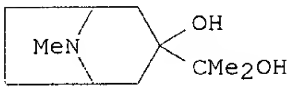

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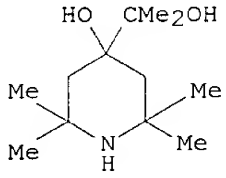

II

AB   Photoredn. of 1-methyl- and 1-benzyl-4-piperidinone-HCl in Me2CHOH gave
     the corresponding alcs. in 70-5% yield. 1,2,5-Trimethyl- and
     3-carbomethoxy-1-methylpiperidinone-HCl were inert under these
conditions.
     Tropinone and 2,2,6,6-tetramethyl-4-piperidinone-HCl were reduced to the
     alcs. but also gave appreciable amts. of **reductive**-addn. (I and
     II) and **dimerization** products. Thus, the reactivity of the
     piperidinones depended on whether substituents were present in the 1-, 2-
     or 3-position.


```
IT   34737-83-2
     RL: RCT (Reactant)
        (photochem. redn. of, by isopropanol)
RN   34737-83-2  CAPLUS
CN   4-Piperidinone, 1-methyl-, hydrochloride (9CI)  (CA INDEX NAME)
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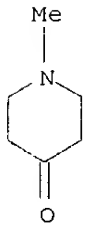

● HCl

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=> d his

     (FILE 'HOME' ENTERED AT 13:25:39 ON 20 OCT 2000)

     FILE 'REGISTRY' ENTERED AT 13:25:59 ON 20 OCT 2000
L1               STRUCTURE UPLOADED
L2               STRUCTURE UPLOADED
L3             4 S L2
L4           573 S L2 SSS FULL

     FILE 'CAPLUS' ENTERED AT 13:37:19 ON 20 OCT 2000
L5          1638 S L4
L6             6 S L5 AND DIMERIZATION
L7            86 S L5 AND REDUCTIVE
L8             0 S L7 AND METAL
L9            14 S L5 AND METAL
L10            0 S L9 AND REDUCING
L11            1 S L6 AND L7

=> s l7 and piperidine

         29433 PIPERIDINE
L12         35 L7 AND PIPERIDINE

=> s l12 not l9

L13         35 L12 NOT L9

=> s l13 not l6

L14         35 L13 NOT L6

=> s l5 and antihistamine

          2787 ANTIHISTAMINE
L15          5 L5 AND ANTIHISTAMINE

=> d 1-5 fbib abs hitstr


L15  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2000 ACS
AN   1996:527318  CAPLUS
DN   125:167790
TI   Process for manufacturing loratadine
IN   Karimian, Khashayar; Lee, Sue; Iyer, Rajeshwari; Jackson, William P.
```

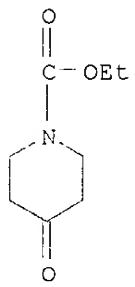
PA Apotex Inc., Can.
SO Can. Pat. Appl., 21 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2134128	AA	19960425	CA 1994-2134128	19941024

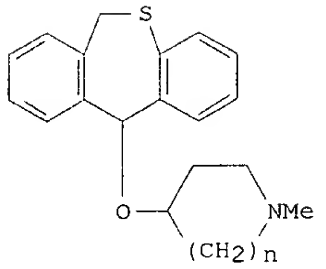
OS CASREACT 125:167790
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Loratadine I, a non-sedating type **antihistamine** H1-receptor antagonist (no data), was prepd. by treatment of pyridine II with (MeO)3P in DMF followed by reaction of Wittig phosphonate III with ketone IV in the presence of NaH in THF, and intramol. cyclization of the intermediate V in the presence of Pd(OAc)2 (catalyst), K2CO3 and Bu4NCl in DMF.
IT **29976-53-2**
RL: RCT (Reactant)
(process for manufg. loratadine)
RN 29976-53-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2000 ACS
AN 1987:477656 CAPLUS
DN 107:77656
TI Heterocyclic ethers derived from 6,11-dihydrodibenzo[b,e]thiepin-11-ols and 4,9-dihydrothieno[2,3-c]-2-benzothiepin-4-ol; a new series of potential antidepressants and **antihistamine** agents
AU Polivka, Zdenek; Metys, Jan; Protiva, Miroslav
CS Res. Inst. Pharm. Biochem., Prague, 130 60/3, Czech.
SO Collect. Czech. Chem. Commun. (1986), 51(9), 2034-49
CODEN: CCCCAK; ISSN: 0366-547X
DT Journal
LA English
OS CASREACT 107:77656
GI



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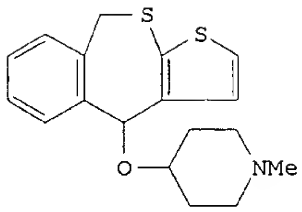


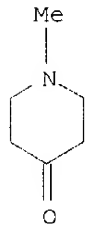
II

AB Reactions of 11-chloro-6,11-dihydrodibenzo[b,e]thiepin and methanesulfonates of 6,11-dihydrodibenzo[b,e]thiepin-11-ol, its 2-Me deriv., and 4,9-dihydrothienol[2,3-c]-2-benzothiepin-4-ol with 1-methylpiperidin-4-ol, 1-methylperhydroazepin-4-ol, and tropine gave the corresponding ethers, e.g., I (n = 2, 3) and II. Their methanesulfonates were pharmacol. tested and showed antireserpine, anticataleptic, and **antihistamine** activities of various degree. The most active compds. were I (n = 2, 3).

IT **1445-73-4**, 1-Methyl-4-piperidone
RL: RCT (Reactant)
(reaction of, with diazomethane, hexahydroazepinone derivs. from)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L15 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1985:596033 CAPLUS

DN 103:196033

TI New antihistaminic N-heterocyclic 4-piperidinamines. 1. Synthesis and antihistaminic activity of N-(4-piperidinyl)-1H-benzimidazol-2-amines

AU Janssens, Frans; Torremans, Joseph; Janssen, Marcel; Stokbroekx, Raymond A.; Luyckx, Marcel; Janssen, Paul A. J.

CS N. V. Janssen Pharm., Res. Lab., Beerse, B-2340, Belg.

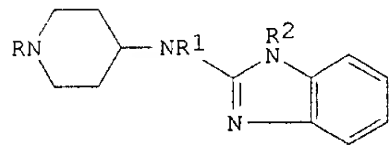
SO J. Med. Chem. (1985), 28(12), 1925-33
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 103:196033

GI



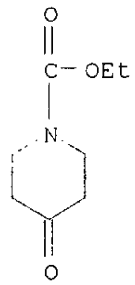
I

AB The synthesis of a series of N-(4-piperidinyl)-1H-benzimidazol-2-amines I [R =(un)substituted alkyl, cycloalkyl, (un)substituted CH2CH2Ph; R1 = H, alkyl, cyclopropyl; R2 = H, alkyl, (un)substituted benzyl] (87 compds.) and the preliminary evaluation of their in vitro and in vivo antihistaminic activity are described. Cyclodesulfurization of (2-aminophenyl)thioureas with HgO resulted in 2-aminobenzimidazole intermediates, which were monoalkylated on the endo-nitrogen atom. After deprotection of the piperidine nitrogen atom with aq. HBr, I were obtained by alkylation, reductive amination, or oxirane ring-opening reactions. The in vivo antihistaminic activity was evaluated by the compd. 48/80-induced lethality test in rats and the histamine-induced lethality test in guinea pigs after oral and/or s.c. administration. The duration of action, for a selected no. of compds., was studied in the guinea pig. The phenylethyl derivs. showed the most potent **antihistamine** properties after oral administration in both animal species.

IT **29976-53-2**
RL: RCT (Reactant)
(reductive amidation of)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L15 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1984:423473 CAPLUS

DN 101:23473

TI N-(Bicyclic heterocyclyl)-4-piperidinamines

IN Janssens, Frans Eduard; Torremans, Joseph Leo Ghislanus; Hens, Jozef Francis; Van Offenwert, Theophilus Theresia J. M.

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 99139	A2	19840125	EP 1983-200832	19830608
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	EP 99139	B1	19870211		
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	IN 156065	A	19850504	IN 1983-CA599	19830512
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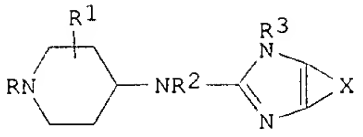
GI



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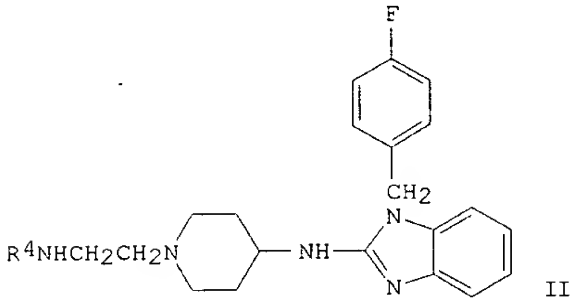

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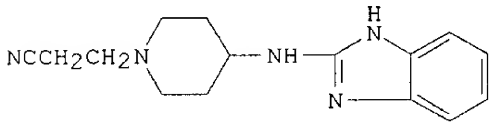


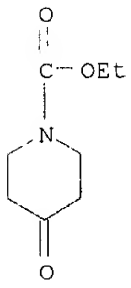
IV

AB About 100 antihistaminic title compds. I [R = substituted piperidinyl, substituted alkyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, acyl, aralkyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N] were prepd. Thus N-piperidinylbenzimidazolamine II (R4 = 2-pyrimidinyl)(III) was prepd. from 2-chloropyrimidine and II (R4 = H), which was prepd. from N-piperidinylbenzimidazolamine IV. III had an ED50 of 0.63 mg/kg s.c. against stomach lesions induced by vasoactive amines in rats.

IT **29976-53-2**
RL: RCT (Reactant)
(reaction of, with piperidinylbenzimidazolamine)

RN 29976-53-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-oxo-, ethyl ester (6CI, 8CI, 9CI) (CA INDEX NAME)



L15 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2000 ACS

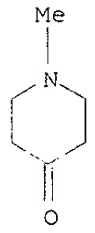
AN 1975:106184 CAPLUS

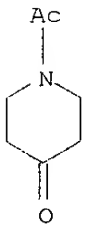
DN 82:106184

TI Benzopyranopyridine derivatives. 1. Aminoalkyl derivatives of the azaxanthenes as bronchodilating agents

AU Villani, Frank J.; Mann, Thomas A.; Wefer, Elizabeth A.; Hannon, Janet; Larca, Louis L.; Landon, Mildred J.; Spivak, William; Vashi, Dhiru; Tozzi,

Salvatore; et al.
CS Dep. Med. Chem., Schering Corp., Bloomfield, N. J., USA
SO J. Med. Chem. (1975), 18(1), 1-8
CODEN: JMCMAR
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Of 17 title compds. prepd. and tested in vivo and in vitro, 5-(1-methyl-4-piperidylidene)-1-azaxanthene maleate (I maleate) [39624-65-2] was a potent bronchodilator and a moderate antihistaminic. I is .apprx.10 times as potent as aminophylline [317-34-0] and half as potent as isoproterenol [7683-59-2] on oral administration in guinea pigs. I was prepd. from 2-phenoxynicotinic acid [35620-71-4] by polyphosphoric acid cyclization to the ketone, followed by reaction with 4-chloro-1-methylpiperidine [5570-77-4] and Na in liq. NH3, and dehydration of the resulting carbinol. Structure-activity relations are discussed.
IT **1445-73-4**
RL: RCT (Reactant)
(reaction of, with bromopyridine deriv.)
RN 1445-73-4 CAPLUS
CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)





L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2000 ACS
AN 1987:176391 CAPLUS
DN 106:176391
TI Pyridoheterocyclylimidazolecarboxylates as herbicides
IN Numata, Tatsuo; Hatanaka, Masataka; Watanabe, Junichi; Ikai, Takasi; Nawamaki, Tsutomu; Hattori, Kenji
PA Nissan Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 114 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 212200	A2	19870304	EP 1986-109344	19860708
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	EP 212200	B1	19930324		
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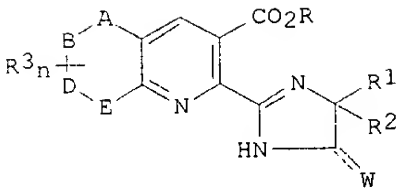
GI



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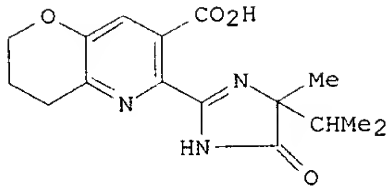
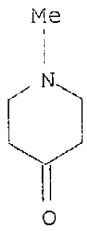


II

AB The title compds. [I; R = H, (substituted) alkyl, alkenyl, alkynyl, oxacycloalkyl, glycidyl, furfuryl, cycloalkyl, alkylimino, (quaternary) ammonium ion, alkali **metal** ion, alk. earth **metal** ion; R1 = alkyl; R2 = alkyl, cycloalkyl; R1R2 = (substituted) cycloalkyl; R3 = OH, CF3, halo, (substituted) alkyl, alkoxy, alkylthio, alkoxycarbonyl, tetrahydrothiopyranyl, pyridyl, Ph; A, B, D, E = O, S, SO, SO2, imino, CO, CH2; one of AB, BD, DE = CH:CH; n = 0-6; W = O, S] were prepd. as herbicides. Tetrahydropyran-3-one reacted with pyrrolidine to give a mixt. of 2 enamines. The mixt. condensed with EtOCH:C(CO2Et)COCO2Et, then with NH4OAc to give a mixt. of pyridopyrandicarboxylates, which were sapond. and converted to the corresponding acids. These were amidated with H2NCMe(CHMe2)CONH2 and the resulting diamides were cyclized with aq. NaOH to give pyridopyranylimidazolecarboxylate II and its isomer. 0.16 Kg II/ha postemergence completely controlled barnyardgrass and black nightshade, while soybeans were left unaffected. A conc. was prepd. contg. II 10, xylene 70, DMF 10, and Sorpol 2680 10 parts.

IT **1445-73-4**
RL: RCT (Reactant)
(condensation of, with pyrrolidine, enamine by)

RN 1445-73-4 CAPLUS
CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2000 ACS
AN 1983:127404 CAPLUS
DN 98:127404
TI Cellular polyurethane or polyurethane-urea moldings
IN Nissen, Dietmar; Hickmann, Eckhard
PA BASF A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 47 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

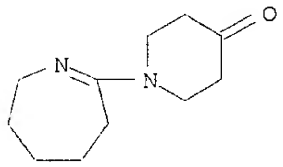
PI	DE 3126436	A1	19830120	DE 1981-3126436	19810704
	EP 69295	A1	19830112	EP 1982-105602	19820625
	EP 69295	B1	19860521		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
				DE 1981-3126436	19810704
	AT 19888	E	19860615	AT 1982-105602	19820625
				DE 1981-3126436	19810704
				EP 1982-105602	19820625
	US 4469653	A	19840904	US 1982-393052	19820628
				DE 1981-3126436	19810704
	CA 1192697	A1	19850827	CA 1982-406480	19820702
				DE 1981-3126436	19810704

AB Cellular polyurethane or polyurethane-polyurea molded articles are prepd. by reaction injection molding of mixts. of org. polyisocyanates, polyols, and chain extenders in the presence of monocyclic amidines or their mixts.
with tertiary amines and **metal** salts as polymn. catalysts and (optionally) substituted aliph. carboxylic acids, blowing agents, auxiliaries, and additives. Thus, reaction injection molding of a mixt. contg. polyethylene-polypropylene glycol triether with trimethylolpropane 65, 3,3',5,5'-tetraisopropyl-4,4'-diaminodiphenylmethane 35, a reaction product of dipropylene glycol and 4,4'-diphenylmethane diisocyanate 44.6, hexahydro-1-(3,4,5,6-tetrahydro-7H-azepin-2-yl)-1H-azepine [34608-41-8] 0.04, and dibutyltin dilaurate [77-58-7] 0.06 part gave a product [85138-81-4] having crack-free time (by Knicktest) 25 s, tensile strength 27.5 N/mm2, elongation 250%, and Shore D hardness 62.

IT **85090-04-6**
RL: CAT (Catalyst use); USES (Uses)
(catalysts, for reaction injection molding of polyurethane-polyureas)

RN 85090-04-6 CAPLUS

CN 4-Piperidinone, 1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)- (9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2000 ACS

AN 1981:192320 CAPLUS

DN 94:192320

TI 6-Aminospiro[penam-2,4'-piperidine]-3-carboxylic acid and their salts and esters

IN Rodriguez, Ludovic; Leclercq, Jacques; Ykman, Pierre; Cossement, Eric

PA UCB S. A., Belg.

SO Ger. Offen., 48 pp.
CODEN: GWXXBX

DT Patent

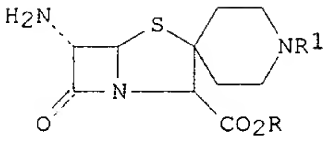
LA German

FAN.CNT 1

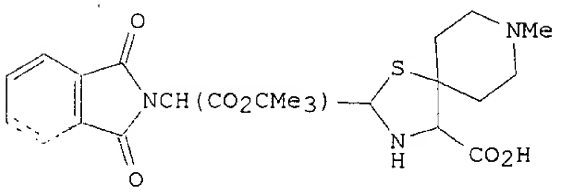
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3023830	A1	19810115	DE 1980-3023830	19800625
				GB 1979-22054	19790625
	GB 2052497	A	19810128	GB 1980-17714	19800530
	GB 2052497	B2	19830629		
				GB 1979-22054	19790625
	NL 8003597	A	19801230	NL 1980-3597	19800620
				GB 1979-22054	19790625

FR 2459802	A1	19810116	FR 1980-13931	19800623	
FR 2459802	B1	19830422			
			GB 1979-22054	19790625	
CA 1148145	A1	19830614	CA 1980-354563	19800623	
			GB 1979-22054	19790625	
BE 883969	A1	19801224	BE 1980-9860	19800624	
			GB 1979-22054	19790625	
JP 56007788	A2	19810127	JP 1980-85815	19800624	
			GB 1979-22054	19790625	
US 4271172	A	19810602	US 1980-162616	19800624	
			GB 1979-22054	19790625	
SU 999975	A3	19830223	SU 1980-2935653	19800624	
			GB 1979-22054	19790625	

GI



I



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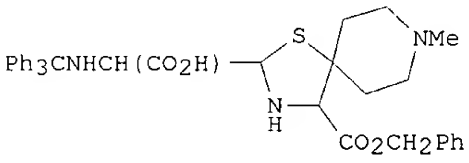


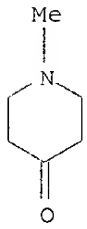
IV

AB The title compds. I (R = H, PhCH2, alkali **metal**; R1 = Me, Ph, PhCH2) were prepd. Thus, I (R = PhCH2, R1 = Me) was prepd. in 9 steps from tert-Bu 2-formyl-2-phthalimidoacetate and .alpha.-amino-4-mercapto-1-methyl-4-piperidineacetic acid-2 HCl (II) via III and cyclization of IV. II was prepd. from 1-methyl-4-piperidinone and EtO2CCH2NCO in 3 steps. The antibacterial activity of I (R = H, R1 = PhCH2, Me).p-MeC6H4SO3H tested against a variety of gram-pos. and gram-neg. bacteria was tabulated.

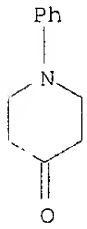
IT **1445-73-4 19125-34-9**
RL: RCT (Reactant)
(reaction of, with Et isocyanatoacetate)

RN 1445-73-4 CAPLUS

CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)



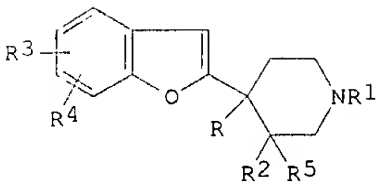
RN 19125-34-9 CAPLUS
CN 4-Piperidinone, 1-phenyl- (9CI) (CA INDEX NAME)



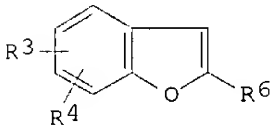
L9 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2000 ACS
AN 1980:215281 CAPLUS
DN 92:215281
TI Tetrahydropyridine derivatives
IN Schenker, Karl; Bernasconi, Raymond
PA Ciba-Geigy A.-G., Switz.
SO Swiss, 5 pp. Division to Swiss 605,924.
CODEN: SWXXAS
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 613452	A	19790928	CH 1977-16296	19740208

GI



I, RR2=bond
II, R=OH, R2=H



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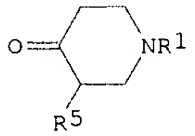
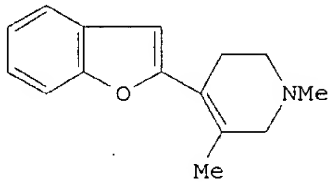


IV

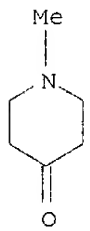


V

AB Tetrahydropyridines I [R1 = C1-12 aliph. or C3-12 cycloaliph. hydrocarbyl,
optionally with an O interrupter, phenylalkyl (with the Ph having .ltoreq.3 H substituted by Cl, Br, F, alkyl, alkoxy, OCH2O, CF3), cinnamyl
optionally substituted in Ph ring; R3,R4 independently = H, alkyl, alkoxy,
F, Cl, Br, R3 = 1-cycloalkenyl, C5-8 cycloalkyl, R3R4 = (CH2)n (n = 3, 4),
CH:CHCH:CH2; R5 = H, Me] and their acid addn. salts, useful as antidepressants, inhibitors of monoamine oxidase, noradrenaline uptake by the heart, and serotonin uptake in middle brain synaptosomes of rats, and antagonists to tetrabenazin (no data), were prepd. by dehydration of II. Reaction of benzofuran III (R6 = alkali **metal** ion, halomagnesio) with piperidones IV gave II. Thus, BuLi in hexane was dropped into benzofuran in Et2O at -5.degree. over 30 min, the mixt. stirred 1 h at 0.degree., IV (R1 = R5 = Me) in Et2O added at 0.degree. and the mixt. stirred 15 h at room temp. to give II (R1 = R5 = Me, R3 = R4 = H). This, in AcOH-HCl was refluxed 10 h to give V, characterized as the HCl salt.

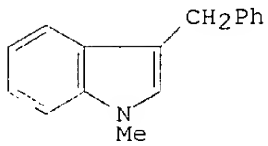
IT **1445-73-4**
RL: RCT (Reactant)
(reaction of, with butyllithium and benzofuran derivs.)

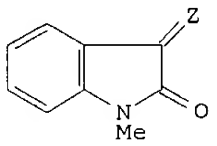
RN 1445-73-4 CAPLUS
CN 4-Piperidinone, 1-methyl- (9CI) (CA INDEX NAME)

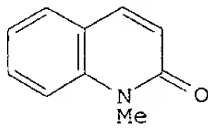


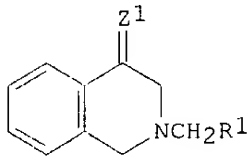
L9 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2000 ACS
AN 1976:179995 CAPLUS

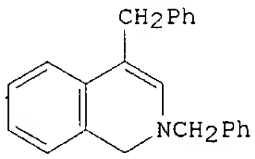
DN 84:179995
TI Cyclization of benzyl- and phenylallylamines in presence of transition **metal** complexes (nickel or palladium)
AU Mori, Miwako; Chiba, Katsumi; Ban, Yoshio
CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan
SO Hukusokan Kagaku Toronkai Koen Yoshishu, 8th (1975), 179-83 Publisher: Pharm. Inst., Tohoku Univ., Sendai, Japan.
CODEN: 32KOAD
DT Conference
LA Japanese
GI



III


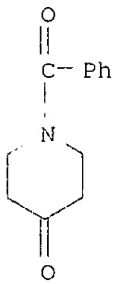
IV


V


VI


VII

AB O-ClC6H4NMeC(:X)CH:CHR [I, X = H2, R = H (II)] was refluxed with Ni(PPh3)4 in Et2O to give 45.6% 1,3-dimethylindole whereas with EtMgBr in the presence of NiCl2(PPh3)2 II gave, besides 54% o-ClC6H4NHMe, 8.6% 1,3-dimethylindoline, presumably via o-(CH2:CHCH2NMe)C6H4NiCl(PPh3)2; similarly, cyclization of I (X = H2, R = Ph; X = O, R = H, R = CO2Me, R = Ph) in the presence of Ni(PPh3)4 gave the indole III, the indolinones IV (Z = H, Me; CH2) and the quinolinone V, IV (Z = H, CH2CO2Me), and IV (Z = H, CH2CO2Me; H, CH2Ph; CHPh), resp. Also, PhCH2N(CH2R1)CH2CH:CHR2 (R1 = Me, Ph; R2 = H, Ph) cyclized in the presence of Pd(OAc)2-Cu(OAc)2 to give the corresponding isoquinolines (VI, Z1 = CH2; H, Me; R1 = Me, Ph; VII).
IT **24686-78-0**
RL: RCT (Reactant)
(dehydrogenation of)
RN 24686-78-0 CAPLUS
CN 4-Piperidinone, 1-benzoyl- (9CI) (CA INDEX NAME)



=> d his